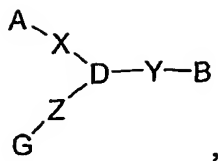


Claims

1. A compound of the formula (I)



wherein

D is a radical selected from the group comprising heterocyclic and homocyclic rings,

X is a radical selected from the group comprising, C=O, SO<sub>2</sub>, NH-(C=O), (C=O)-NH, C=S, CH<sub>2</sub>, O-(C=O), (C=O)-O, (C=S)-NH, NH-(C=S), NR<sup>a</sup>-(C=O), (C=O)-NR<sup>a</sup>, (C=S)-NR<sup>a</sup> and NR<sup>a</sup>-(C=S),

Y is a radical selected from the group comprising  $-(\text{CH}_2)_n\text{-E-(CH}_2)_m\text{-L-(CH}_2)_k$  and  $-(\text{CH}_2)_m\text{-L-(CH}_2)_k$ ,

wherein E is a radical selected from the group comprising O, S and NR<sup>b</sup>,

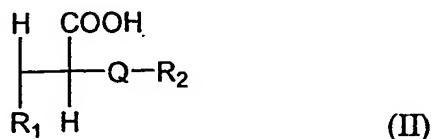
k, m and n are individually and independently 0, 1, 2 and 3,

Z is a radical selected from the group comprising C=O, and alkyl, whereby preferably alkyl is CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>,

A is a radical selected from the group comprising benzyl, substituted benzyl, phenyl, substituted phenyl, alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl,

substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl,

B is a radical having formula (II)



wherein

R<sub>1</sub> is selected from the group comprising H, benzyl, substituted benzyl, phenyl, substituted phenyl, alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl,

R<sub>2</sub> is selected from the group comprising H, benzyl, substituted benzyl, phenyl, substituted phenyl, alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl,

G is a radical comprising at least one nitrogen atom, and

wherein Q and L are each and independently from each other a radical selected from the group comprising (C=O)-NH, C=O, C=S, NH, O, S, CH<sub>2</sub>, NH-NH, N=N, CH=N, N=CH, NH-(C=O)-NH, NH-(C=O), O-(C=O)-NH, NH-(C=O)-O, (C=O)-O, O-(C=O), NH-(C=S), (C=S)-NH, NH-(C=S)-NH, SO<sub>2</sub>, NH-SO<sub>2</sub>, SO<sub>2</sub>-NH, NR<sup>c</sup>, (C=O)-NR<sup>c</sup>, NR<sup>c</sup>, NR<sup>c</sup>-(C=O)-NH, NH-(C=O)-NR<sup>c</sup>, NR<sup>c</sup>-(C=O)-NR<sup>d</sup>, NR<sup>c</sup>-(C=O), O-(C=O)-NR<sup>c</sup>, NR<sup>c</sup>-(C=O)-O, NR<sup>c</sup>-(C=S), (C=S)-NR<sup>c</sup>, NR<sup>c</sup>-(C=S)-NH, NH-(C=S)-NR<sup>c</sup>, NR<sup>c</sup>-(C=S)-NR<sup>d</sup>, NR<sup>c</sup>-SO<sub>2</sub> and SO<sub>2</sub>-NR<sup>c</sup>, and

wherein any of R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> and R<sup>d</sup> is each and independently a radical selected from the group comprising H, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocycloyl, substituted heterocycloyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl.

2. The compound according to claim 1, wherein the ring in D is an aromatic or a non-aromatic ring.
3. The compound according to claim 1 or 2, wherein the ring in D is selected from the group comprising five-membered rings, six-membered rings, seven-membered rings, eight-membered rings, nine-membered rings and ten-membered rings or the ring in D is a condensed ring system selected from the group comprising four-four-membered rings, four-five-membered rings, five-five-membered rings, five-six-membered rings, six six-membered rings, six-seven-membered rings, seven- seven-membered rings.
4. The compound according to any of claims 1 to 3, wherein the ring in D is a heterocyclic ring comprising at least one nitrogen atom.

5. The compound according to claim 4, wherein any of X, Y, Z is attached to the nitrogen atom.
6. The compound according to any of claims 1 to 5, wherein the compound is of the formula (III a, III b)



n is 0, E is CH<sub>2</sub>, m is 1, L is (C=O)-NH and k is 0; or

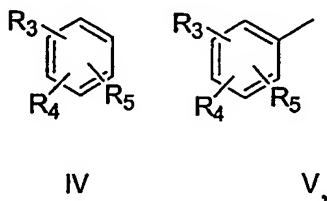
n is 1, E is O, m is 2, L is (C=O)-NH and k is 0.

12. The compound according to any of claims 1 to 11, wherein Z is CH<sub>2</sub>.

13. The compound according to any of claims 1 to 12, wherein A is selected from the group comprising alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl.

14. The compound according to any of claims 1 to 12, wherein A is selected from the group comprising benzyl, substituted benzyl, phenyl, substituted phenyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, arylalkyl substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, arylthio-alkyl, substituted arylthio-alkyl, arylthio-cycloalkyl and substituted arylthio-cycloalkyl.

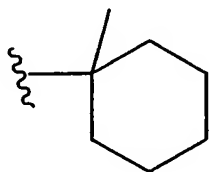
15. The compound according to any of claims 1 to 12 and 14, wherein A is a phenyl derivative or a benzyl derivative having the formula (IV) or (V)



wherein R<sub>3</sub>, R<sub>4</sub>, and R<sub>5</sub> is each and independently a radical selected from the group comprising H, halogen, alkyl, substituted alkyl, alkoxy and substituted alkoxy.

16. The compound according to claim 15, wherein alkyl is selected from the group comprising methyl, ethyl, propyl, butyl, pentyl, hexyl, whereby any of the residues is straight, branched, branched-linear or branched non-linear.

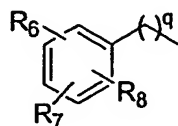
17. The compound according to claim 15 or 16, wherein alkoxy is selected from the group comprising methoxy, ethoxy, propoxy, butoxy, pentoxy, hexoxy.
18. The compound according to claim 15, wherein the substituted alkyl is an alkyl having at least one halogen, NO<sub>2</sub>, OH, CN residue.
19. The compound according to claim 18, wherein the substituted alkyl is selected from the group comprising CF<sub>3</sub> and CCl<sub>3</sub>.
20. The compound according to any of claims 1 to 13, wherein A is a linear alkyl or non-linear alkyl, preferable A is 2,2-dimethyl-butyl.
21. The compound according to any of claims 15 to 20, wherein the halogen is independently selected from the group comprising I, Br, Cl and F.
22. The compound according to any of claims 1 to 21, wherein R<sub>2</sub> is selected from the group comprising alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl, more preferably selected from the group comprising cycloalkyl and substituted cycloalkyl, and more preferably R<sub>2</sub> is



23. The compound according to any of claims 1 to 21, wherein R<sub>2</sub> is selected from the group comprising benzyl, substituted benzyl, phenyl, substituted phenyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl,

substituted alkyloxy-heteroaryl, arylthio-alkyl, substituted arylthio-alkyl, arylthio-cycloalkyl and substituted arylthio-cycloalkyl.

24. The compound according to any of claims 1 to 23, wherein  $R_2$  is a radical having the following formula (VI)



VI ,

wherein  $q$  is 0, 1, 2, 3, or 4,

$R_6$ ,  $R_7$  and  $R_8$  are each individually and independently selected from the group of radicals comprising halogen, alkyl, substituted alkyl, alkoxy and substituted alkoxy.

25. The compound according to claim 24, wherein alkyl and/or the substituted alkyl is selected from the group comprising methyl, ethyl, propyl, butyl, pentyl, hexyl, whereby any of the residues is straight, branched, branched-linear or branched non-linear.

26. The compound according to claims 23 or 24, wherein alkoxy is selected from the group comprising methoxy, ethoxy, propoxy, butoxy, pentoxy, hexoxy.

27. The compound according to claim 24 and 25, wherein the substituted alkyl is an alkyl having at least one halogen  $\text{NO}_2$ , OH, CN residue.

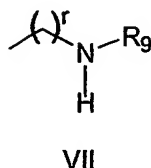
28. The compound according to claim 27, wherein the substituted alkyl is selected from the group comprising  $\text{CF}_3$  and  $\text{CCl}_3$ .

29. The compound according to claim 24, wherein  $R_2$  is mesitylene.

30. The compound according to any of claims 1 to 29, wherein Q of B is  $\text{C}=\text{O}$ .

31. The compound according to any of claims 1 to 29, wherein Q of B is  $\text{SO}_2$ .

32. The compound according to any of claims 1 to 31, wherein G is a radical of formula (VII).



wherein R<sub>9</sub> is a heterocyclic ring and r is 0, 1, 2, 3 or 4.

33. The compound according to claim 32, wherein R<sub>7</sub> is a three-membered, four-membered, five-membered, six-membered, seven-membered, eight-membered, nine-membered or ten-membered ring, preferably having at least one nitrogen atom in the ring.

34. The compound according to claim 32, wherein R<sub>7</sub> is a condensed ring, preferably having at least one nitrogen atom.

35. The compound according to any of claims 1 to 31 wherein G is a guanidine radical.

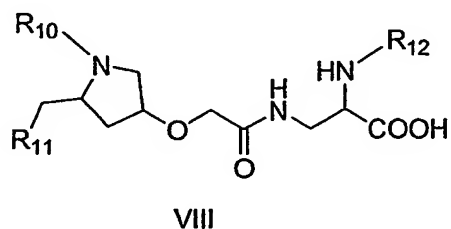
36. The compound according to any of claims 1 to 34, wherein G is (C=O)-NH<sub>2</sub> or NH-(C=O)-NH<sub>2</sub>.

37. The compound according to any of claims 1 to 31, wherein G is selected from the group comprising pyridin-2-ylamine, pyrimidin-2-ylamine, 1(2)*H*-imidazol-2-ylamine, 4,5-dihydro-1*H*-imidazol-2-ylamine, 1,4,5,6-tetrahydro-pyrimidin-2-ylamine, 4,5,6,7-tetrahydro-1*H*-[1,3]diazepin-2-ylamine, 1,4,5,6,7,8-hexahydro-[1,3]diazocine, 1,4,5,6,7,8,9,10-octahydro-[1,3]diazecin-2-ylamine, 4,5-dihydro-3*H*-pyrrol-2-ylamine, 3,4,5,6-tetrahydro-pyridin-2-ylamine, 4,5,6,7-tetrahydro-3*H*-azepin-2-ylamine, 3,4,5,6,7,8-hexahydro-azocin-2-ylamine, 3,4,5,6,7,8,9,10-octahydro-azecin-2-ylamine, 1*H*-benzoimidazol-2-ylamine, 2(3)*H*-pyrazol-3-ylamine, 1*H*-indol-2-ylamine, 1,2,3,4-tetrahydro-[1,8]naphthyridine, pyrazin-2-ylamine and any derivative of each thereof, whereby preferably such derivative is selected from the group comprising the alkyl derivative, the alkoxy derivative, the thioalkyl derivative and the halogen derivative.



38. The compound according to any of claims 1 to 31, wherein G is selected from the group comprising pyridin-2-ylamine, 4-methoxy-pyridin-2-ylamine, 1(2)*H*-imidazol-2-ylamine, 2(3)*H*-pyrazol-3-ylamine.

39 A compound having the formula (VIII)



wherein  $R_{10}$  is  $-\text{CO}-R_{13}$  or  $-\text{CO}-\text{O}-R_{13}$ ,

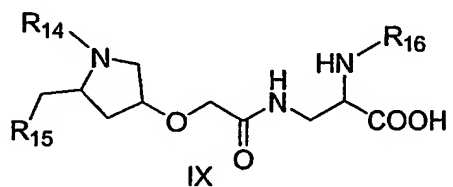
wherein  $R_{11}$  is a substituted pyridine-2-ylamine,

wherein  $R_{12}$  is  $-\text{CO}-R_{13}$ ,  $-\text{SO}_2-R_{13}$ , and

wherein  $R_{13}$  is a radical selected from the group comprising alkyl, substituted alkyl, cycloalkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl,

whereby the compound is preferably a compound according to any of claims 1 to 38.

40. The compound according to claim 39, whereby the compound has the formula (IX)



wherein  $R_{14}$  is 3,3-dimethyl-butyryl or 3-carboxy-phenyl,

wherein  $R_{15}$  is pyridin-2-ylamine or 4-methoxy-pyridin-2-ylamine,

wherein  $R_{16}$  is  $-\text{CO}-R_{17}$ , and

wherein  $R_{17}$  is mesitylene or 1-methyl cyclohexyl,

whereby the compound is preferably a compound according to any of claims 1 to 38.

41. A compound selected from the group comprising

- compound 5: [2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 9: 2-[1-Phenylacetyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 10: (2-Benzenesulfonylamino-2-carboxy-ethylcarbamoyl)-methoxy-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 13: 4- {[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid butyl ester
- compound 14: 3-{2-[1-(3-Phenyl-propionyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 15: 3-{2-[1-Phenylmethanesulfonyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 16: 3-{2-[1-(Butane-1-sulfonyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 17: 3-{2-[1-Methyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 18: 3-{2-[1-(3-Phenyl-propyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 19: 3-{2-[5-(Pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 20: 3-{2-[1-Cyclopentylcarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 21: 3-{2-[1-Cyclohexylcarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 22: 3-{2-[1-Butylcarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 23: 3-{2-[1-Pentylcarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 24: 3-{2-[1-(2-Fluoro-benzylcarbamoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid

- compound 25: 3-{2-[1-(4-Methyl-benzylcarbamoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 26: 3-{2-[1-Phenethylcarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 27: 3-{2-[1-(3-Methyl-benzylcarbamoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 28: 3-{2-[1-Phenylcarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 29: 3-{2-[1-(2-Methyl-pentanoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 30: 3-{2-[1-(3-Cyclopentyl-propionyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 31: 3-{2-[1-(3,3-Dimethyl-butyryl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 32: 3-{2-[1-Cyclohexanecarbonyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 33: 3-{2-[5-(Pyridin-2-ylaminomethyl)-1-(3,5,5-trimethyl-hexanoyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 34: 3-{2-[5-(Pyridin-2-ylaminomethyl)-1-(2-thiophen-2-yl-acetyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 35: 3-{2-[1-(2-Cyclopentyl-acetyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 36: 3-{2-[1-[2-(3-Methoxy-phenyl)-acetyl]-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 37: 3-{2-[1-Isobutyryl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 38: 3-{2-[1-Propionyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 39: 3-{2-[1-(2-Phenoxy-acetyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 40: 3-{2-[1-Benzoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid

- compound 41: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid isobutyl ester
- compound 42: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid ethyl ester
- compound 43: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid hexyl ester
- compound 44: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid prop-2-ynyl ester
- compound 45: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid but-3-enyl ester
- compound 46: 3-{2-[1-Benzylcarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetylamino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 47: 3-{2-[1-Carbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetylamino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 48: 3-{2-[5-(Pyridin-2-ylaminomethyl)-1-(2-trifluoromethyl-phenylcarbamoyl)-pyrrolidin-3-yloxy]-acetylamino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 49: 3-{2-[1-(Benzo[1,3]dioxol-5-ylcarbamoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetylamino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 50: 3-{2-[1-(Biphenyl-4-ylcarbamoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetylamino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 51: 3-{2-[1-Benzylthiocarbamoyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetylamino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 52: 3-{2-[1-Acetyl-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetylamino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 53: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid methyl ester

- compound 54: 3-{2-[1-[2-(2-Methoxy-ethoxy)-acetyl]-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 55: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid 4-fluorobenzyl ester
- compound 56: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid 4-chlorobenzyl ester
- compound 57: 3-{2-[1-[3-(4-Fluoro-phenyl)-propionyl]-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 58: 3-{2-[1-[3-(4-Chloro-phenyl)-propionyl]-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 59: 4-[[2-Ethoxycarbonyl-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 60: 3-{2-[1-(3,3-Dimethyl-butyryl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzoylamino)-propionic acid
- compound 61: 5-[[4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carbothioyl]-amino]-2-(6-hydroxy-3-oxo-3H-xanthen-9-yl)-benzoic acid
- compound 62: 3-{2-[1-(Anthracene-2-sulfonyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 63: 3-{2-[1-(2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-Pentadecafluoro-octanoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 64: 3-{2-[1-(3,5-Bis-trifluoromethyl-benzoyl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 65: 3-{2-[1-(3,3-Dimethyl-butyryl)-5-(pyridin-2-ylaminomethyl)-pyrrolidin-3-yloxy]-acetyl-amino}-2-[(1-methyl-cyclohexanecarbonyl)-amino]-propionic acid
- compound 66: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzoylamino)-ethylcarbamoyl]-methoxy]-2-[(4-methoxy-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 67: 4-[[2-(Butane-1-sulfonylamino)-2-carboxy-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester

- compound 68: 4-[(2-Carboxy-2-phenylmethanesulfonylamino-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 69: 4-[(2-Carboxy-2-methanesulfonylamino-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 70: 4-[(2-Benzoylamino-2-carboxy-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 71: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzoylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 72: 4-[(2-Carboxy-2-phenylacetyl-amino-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 73: 4-({2-[(Biphenyl-4-carbonyl)-amino]-2-carboxy-ethylcarbamoyl}-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 74: 4-[[2-Carboxy-2-(3-phenyl-propionyl-amino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 75: 4-[[2-(3-Butyl-ureido)-2-carboxy-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 76: 4-[[2-Carboxy-2-(3-phenyl-ureido)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 77: 4-[[2-(3-Benzyl-ureido)-2-carboxy-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 78: 4-({2-Carboxy-2-[3-(2,6-dimethyl-phenyl)-ureido]-ethylcarbamoyl}-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 79: 4-[[2-Carboxy-2-(3-phenethyl-ureido)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 80: 4-[[2-(3-Biphenyl-4-yl-ureido)-2-carboxy-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 81: 4-[(2-Amino-2-carboxy-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 82: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 83: 4-[[2-Carboxy-2-(2-trifluoromethyl-benzoylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 84: 4-[[2-Carboxy-2-(3-trifluoromethyl-benzoylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester

- compound 85: 4-{{2-Carboxy-2-(4-trifluoromethyl-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 86: 4-{{2-(3,5-Bis-trifluoromethyl-benzoylamino)-2-carboxy-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 87: 4-{{2-Carboxy-2-(2-methyl-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 88: 4-{{2-Carboxy-2-(2-methoxy-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 89: 4-{{2-Carboxy-2-(4-methyl-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 90: 4-{{2-Carboxy-2-(2,6-dimethoxy-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 91: 4-{{2-Carboxy-2-(cyclohexanecarbonyl-amino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 92: 4-{{2-Carboxy-2-(2,6-dimethyl-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 93: 4-{{2-Carboxy-2-(3,5-dimethyl-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 94: 4-{{2-Carboxy-2-(3,4,5-trimethoxy-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 95: 4-{{2-Carboxy-2-(2-fluoro-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 96: 4-{{2-Carboxy-2-(2-nitro-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 97: 4-{{2-Carboxy-2-(2-chloro-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 98: 4-{{2-Carboxy-2-(2,6-dichloro-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 99: 4-{{2-Carboxy-2-(2,6-difluoro-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 100: 4-{{2-Carboxy-2-[(3-methyl-thiophene-2-carbonyl)-amino]-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester

- compound 101: 4-({2-Carboxy-2-[(1-methyl-cyclohexanecarbonyl)-amino]-ethylcarbamoyl}-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 102: 4-{{2-Carboxy-2-(3-methyl-2-phenyl-butyrylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 103: 4-{{2-Carboxy-2-(2-ethyl-benzoylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 104: 4-({2-[(Biphenyl-2-carbonyl)-amino]-2-carboxy-ethylcarbamoyl}-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 105: 4-({2-Carboxy-2-[(2-methyl-cyclohexanecarbonyl)-amino]-ethylcarbamoyl}-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 106: 4-({2-Carboxy-2-[(1-phenyl-cyclopropanecarbonyl)-amino]-ethylcarbamoyl}-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 107: 4-({2-Carboxy-2-[(1-phenyl-cyclopentanecarbonyl)-amino]-ethylcarbamoyl}-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 108: 4-{{2-Carboxy-2-(2,2-dicyclohexyl-acetylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 109: 4-{{2-Carboxy-2-(2-dimethylamino-benzoylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 110: 4-{{2-Carboxy-2-(2-difluoromethylsulfanyl-benzoylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 111: 4-{{2-Carboxy-2-(2-methyl-pentanoylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 112: 4-{{2-Carboxy-2-(3-cyclopentyl-propionylamino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 113: 4-{{2-Carboxy-2-(cyclobutanecarbonyl-amino)-ethylcarbamoyl}-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester



- compound 114: 4-{{2-Carboxy-2-(3,3-dimethyl-butyrylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 115: 4-{{2-Carboxy-2-(3,5,5-trimethyl-hexanoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 116: 4-[(2-Carboxy-2-propionylamino-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 117: 4-{{2-Carboxy-2-(2,2-dimethyl-propionylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 118: 4-{{2-Carboxy-2-(2,2-dimethyl-butyrylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 119: 4-{{2-Carboxy-2-(cyclopropanecarbonyl-amino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 120: 4-{{2-Carboxy-2-(2-cyclopentyl-acetylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 121: 4-[(2-Carboxy-2-isobutyrylamino-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 122: 4-{{2-Carboxy-2-(2-cyclohexyl-acetylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 123: 4-{{2-Carboxy-2-(2-propyl-pentanoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 124: 4-{{2-Carboxy-2-(4-methyl-pentanoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 125: 4-{{2-Carboxy-2-(2-cycloheptyl-acetylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 126: 4-{{2-Carboxy-2-(2,4,6-triisopropyl-benzoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 127: 4-{{2-Carboxy-2-(4-phenyl-butyrylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 128: 4-{{2-Carboxy-2-(5-phenyl-pentanoylamino)-ethylcarbamoyl]-methoxy}-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester

- compound 129: 2-[(1H-Benzimidazol-2-ylamino)-methyl]-4-[[2-carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 130: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-(pyrimidin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 131: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-[(5-chloro-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 132: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-[(2H-imidazol-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 133: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-(isoquinolin-3-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 134: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-[(5-trifluoromethyl-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 135: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-[(1H-pyrazol-3-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 136: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-[(5-methyl-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 137: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-[(6-methyl-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 138: 2-[(6-Amino-pyridin-2-ylamino)-methyl]-4-[[2-carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 139: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-[(4,6-dimethyl-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester

- compound 140: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-(quinolin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 141: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-[(5-phenyl-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 142: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-[(4-methyl-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 143: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-[(4-methoxy-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 144: 4-{{2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl}-methoxy}-2-[(4-chloro-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 145: 3-(2-{1-(3,3-Dimethyl-butyryl)-5-[(4-methoxy-pyridin-2-ylamino)-methyl]-pyrrolidin-3-yloxy}-acetyl-amino)-2-(2,4,6-trimethyl-benzenesulfonylamino)-propionic acid
- compound 146: 3-(2-{1-(3,3-Dimethyl-butyryl)-5-[(4-methoxy-pyridin-2-ylamino)-methyl]-pyrrolidin-3-yloxy}-acetyl-amino)-2-(2,4,6-trimethyl-benzoylamino)-propionic acid
- compound 147: 4-{{2-Carboxy-2-[(1-methyl-cyclohexanecarbonyl)-amino]-ethylcarbamoyl}-methoxy}-2-[(4-methoxy-pyridin-2-ylamino)-methyl]-pyrrolidine-1-carboxylic acid benzyl ester
- compound 148: 3-(2-{1-(3,3-Dimethyl-butyryl)-5-[(4-methoxy-pyridin-2-ylamino)-methyl]-pyrrolidin-3-yloxy}-acetyl-amino)-2-[(1-methyl-cyclohexanecarbonyl)-amino]-propionic acid
- compound 149: 4-[(1-Carboxymethyl-2-methyl-propylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 150: 4-[(1-Carboxymethyl-2-phenyl-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 151: 4-[(2-Carboxy-1-phenyl-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 152: 4-[(1-Carboxymethyl-2-p-tolyl-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester

- compound 153: 4-[(2-Carboxy-1-phenyl-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 154: 4-[[3-Carboxy-3-(2,4,6-trimethyl-benzenesulfonylamino)-propylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 155: 4-([2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethyl]-methyl-carbamoyl)-methoxy)-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 156: 4-[(2-Carboxy-2-phenyl-ethylcarbamoyl)-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester
- compound 157: 4-[[2-Carboxy-2-(2,4,6-trimethyl-benzenesulfonylamino)-ethylcarbamoyl]-methoxy]-2-(pyridin-2-ylaminomethyl)-pyrrolidine-1-carboxylic acid benzyl ester

42. Use of a compound according to any of claims 1 to 41 as an inhibitor.
43. Use according to claim 42, wherein the compound is an inhibitor to an integrin.
44. Use according to claim 43, wherein the integrin is alpha5beta1 integrin.
45. Use of a compound according to any of claims 1 to 41 for the manufacture of a medicament, preferably a medicament for the treatment and/or prevention of a disease.
46. Use of a compound according to claim 45, wherein the medicament is for a disease mediated by or involving alpha5beta1 integrin.
47. Use according to claim 45 or 46, wherein the disease is selected from the group comprising diseases based on pathological angiogenesis and/or diseases based on interaction of an integrin with a ligand, whereby preferably the ligand is present on the extracellular matrix and/or on any cell surface.
48. Use according to any of claims 45 to 47, wherein the disease is related to an ocular tissue, the skin, joint, neoplasm, synovial tissue, intestinal tissue and/or the bone tissue.

49. Use according to any of claims 45 to 48, wherein the disease is a disease of an ocular tissue, preferably diabetic retinopathy, retinopathy of prematurity or macular degeneration, more preferably age related macular degeneration by neovascularization.
50. Use according to any of claims 45 to 48, wherein the disease is a disease of the skin, more preferably hemangioma or psoriasis.
51. Use according to any of claims 45 to 48, wherein the disease is a disease of or affecting the joints, more preferably rheumatoid arthritis and/or osteoarthritis.
52. Use according to any of claims 45 to 48, wherein the disease is a neoplasm, more preferably a malignant neoplasm.
53. Use according to claim 52, wherein the malignant neoplasm is a carcinoma, more preferably the carcinoma is selected from the group comprising breast carcinoma, ovarian carcinoma, colon carcinoma, pancreatic carcinoma, bladder carcinoma, sarcoma, mesothelioma, teratocarcinoma, astrocytoma, melanoma, angioma and glioblastoma.
54. Use according to any of claims 45 to 48, wherein the disease is based on an interaction of an integrin with a ligand in the extracellular matrix or on the cell surface, preferably the disease is an inflammatory disease.
55. Use according to any of claims 45 to 48, wherein the disease is based on an interaction of an integrin with a ligand in the extracellular matrix or on the cell surface, preferably the disease is an infectious disease.
56. Use according to any of claims 45 to 48 and 54, wherein the inflammatory disease is a disease preferably selected from the group comprising gingivitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease and coronary thrombosis.
57. Use according to any of claims 45 to 48 and 55, wherein the disease is an infectious disease, more preferably the disease is an infection caused by or involving fungi, bacteria and/or viruses.

58. Use according to any of claims 45 to 48 and 54, wherein the disease is a non-neoplastic cell proliferative disorder, preferably the non-neoplastic cell proliferative disorder is selected from the group comprising fibrotic disorders, more preferably the fibrotic disorder is fibrosis.

59. Use according to any of claims 45 to 58, wherein the medicament is for the treatment of macular degeneration, and wherein A is selected from the group comprising alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl, substituted alkylthio-cycloalkyl, benzyl, substituted benzyl, phenyl, substituted phenyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl substituted heterocyclylalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, arylthio-alkyl, substituted arylthio-alkyl, arylthio-cycloalkyl and substituted arylthio-cycloalkyl.

60. Use according to any of claims 45 to 59, preferably claim 59, wherein R<sub>2</sub> is selected from the group comprising alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl.

61. Use according to any of claims 45 to 60, preferably claims 59 and 60, wherein Q of B is C=O or SO<sub>2</sub>.

62. Use according to any of claims 45 to 58, wherein the medicament is for the treatment of neoplasms, and wherein A is selected from the group comprising alkyl and substituted alkyl, cycloalkyl, substituted cycloalkyl, alkyloxy-alkyl, substituted alkyloxy-alkyl, alkyloxy-cycloalkyl, substituted alkyloxy-cycloalkyl, alkylthio-alkyl, substituted alkylthio-alkyl, alkylthio-cycloalkyl and substituted alkylthio-cycloalkyl, benzyl, substituted benzyl, phenyl, substituted phenyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, arylalkyl substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclylalkyl, substituted heterocyclylalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, arylthio-alkyl, substituted arylthio-alkyl, arylthio-cycloalkyl and substituted arylthio-cycloalkyl.

substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, arylthio-alkyl, substituted arylthio-alkyl, arylthio-cycloalkyl and substituted arylthio-cycloalkyl.

63. Use according to any of claims 45 to 58 and 62, wherein  $R_2$  is selected from the group comprising benzyl, substituted benzyl, phenyl, substituted phenyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heterocyclyl, substituted heterocyclyl, arylalkyl, substituted arylalkyl, heteroarylalkyl, substituted heteroarylalkyl, cycloalkylalkyl, substituted cycloalkylalkyl, heterocyclalkyl, substituted heterocyclalkyl, alkyloxy-heterocyclyl, substituted alkyloxy-heterocyclyl, alkyloxy-aryl, substituted alkyloxy-aryl, alkyloxy-heteroaryl, substituted alkyloxy-heteroaryl, arylthio-alkyl, substituted arylthio-alkyl, arylthio-cycloalkyl and substituted arylthio-cycloalkyl.

64. Use according to any of claims 45 to 56 and 62 to 63, preferably claims 62 and 63, wherein Q of B is  $SO_2$  or  $C=O$ .

65. Use of a compound according to any of claims 39 and 40 for the manufacture of a medicament for the treatment of macular degeneration and/or neoplasms.

66. Use of a compound according to any of claims 1 to 41 as a diagnostic tool or for the manufacture of a diagnostic tool, whereby preferably such diagnostic tool is useful for *in vivo* and/or for *ex vivo* application.

67. Use according to any of claims 42 to 66, wherein the compound comprises a further moiety, preferably a moiety which is selected from the group comprising a targeted moiety, a delivery moiety, and a detection moiety.

68. Use according to claim 67, wherein the further moiety is attached, preferably conjugated to the compound according to any of claims 1 to 41.

69. Use according to claim 67 or 68, wherein the detection moiety is a label, whereby preferably the label is selected from the group comprising radionuclide labels, paramagnetic material, X-ray attenuating material, immune labels, colored labels, chemiluminescent labels, luminescent labels, fluorescent labels, enzyme substrates, enzymes, and labels complexing detectable ions.

70. Use according to any of claims 67 to 69, whereby the diagnostic tool is used in an *in vivo* imaging method and/or an *ex vivo* imaging method, more particularly radionuclide imaging, positron emission tomography, computerized axial tomography, magnetic resonance imaging, luminescence, fluorescence, and chemiluminescence.

71. Use according to any of claims 42 to 70, wherein the moiety is a targeted moiety, whereby targeted moiety is preferably a pharmaceutically active moiety, whereby the pharmaceutically active moiety is selected from the group comprising cytotoxins, chemotherapeutics, antibodies, radionuclides and cytotoxic proteins.

72. Use according to any of claims 42 to 71, wherein the targeted moiety is selected from the group comprising antibodies, linker molecules and liposomes.

73. A pharmaceutical composition comprising a compound according to any of claims 1 to 41 and a pharmaceutically acceptable carrier, diluent or excipient.

74. The pharmaceutical composition according to claim 73 comprising another pharmaceutically active compound.

75. The pharmaceutical composition according to claim 73 or 74, wherein the compound is present as a pharmaceutically acceptable salt or a pharmaceutically active solvate.

76. The pharmaceutical composition according to any of claims 73 to 75, wherein the compound is either alone or in combination with any of the ingredients of the composition present in a multitude of individualised dosages and/or administration forms.

77. The pharmaceutical composition according to any of claims 73 to 76 for the treatment of a disease, whereby the disease is selected from diseases mediated by or involving  $\alpha_5\beta_1$  integrin.

78. The pharmaceutical composition according to any of claims 73 to 77 for the treatment of a disease, whereby the disease is any of the diseases defined in any of claims 45 to 58.



79. The pharmaceutical composition according to any of claims 73 to 78, for use together with a method of treatment for a disease, preferably a disease defined in any of claims 45 to 58.

80. The pharmaceutical composition according to claim 79, whereby the method of treatment is selected from the group comprising chemotherapy, anti-hormone therapy, radiation therapy, photodynamic therapy, surgery, and anti-angiogenic therapy.

81. A method for treating an integrin associated state in a subject comprising administering to said subject an effective amount of a compound according to any of claims 1 to 41 such that said integrin associated state is treated.

82. The method according to claim 81, wherein the integrin is  $\alpha 5 \beta 1$  integrin.

83. A method for treating a disease in a subject comprising administering to said subject an effective amount of a compound according to any of claims 1 to 41 such that the disease is treated.

84. The method according to claim 83, wherein the disease is any of the diseases defined in any of claims 45 to 58.